

10/11/2006 10569472.trn

1st rel

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSSPTA1626GMS

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

\* \* \* \* \* Welcome to STN International \* \* \* \* \*

NEWS 1 Web Page URLs for STN Seminar Schedule - N. America  
NEWS 2 "Ask CAS" for self-help around the clock  
NEWS 3 FEB 27 New STN AnaVist pricing effective March 1, 2006  
NEWS 4 MAY 10 CA/Capplus enhanced with 1900-1906 U.S. patent records  
NEWS 5 MAY 11 KOREAPAT updates resume  
NEWS 6 MAY 19 Derwent World Patents Index to be reloaded and enhanced  
NEWS 7 MAY 30 IPC 8 Rolled-up Core codes added to CA/Capplus and  
USPATFULL/USPAT2  
NEWS 8 MAY 30 The F-Term thesaurus is now available in CA/Capplus  
NEWS 9 JUN 02 The first reclassification of IPC codes now complete in  
INPADOC  
NEWS 10 JUN 26 TULSA/TULSA2 reloaded and enhanced with new search and  
and display fields  
NEWS 11 JUN 28 Price changes in full-text patent databases EPFULL and PCTFULL  
NEWS 12 JUL 11 CHEMSAFE reloaded and enhanced  
NEWS 13 JUL 14 FSTA enhanced with Japanese patents  
NEWS 14 JUL 19 Coverage of Research Disclosure reinstated in DWPI  
NEWS 15 AUG 09 INSPEC enhanced with 1898-1968 archive  
NEWS 16 AUG 28 ADISCTI Reloaded and Enhanced  
NEWS 17 AUG 30 CA(SM)/Capplus(SM) Austrian patent law changes  
NEWS 18 SEP 11 CA/Capplus enhanced with more pre-1907 records  
NEWS 19 SEP 21 CA/Capplus fields enhanced with simultaneous left and right  
truncation  
NEWS 20 SEP 25 CA(SM)/Capplus(SM) display of CA Lexicon enhanced  
NEWS 21 SEP 25 CAS REGISTRY(SM) no longer includes Concord 3D coordinates  
NEWS 22 SEP 25 CAS REGISTRY(SM) updated with amino acid codes for pyrrolysine  
NEWS 23 SEP 28 CEABA-VTB classification code fields reloaded with new  
classification scheme  
  
NEWS EXPRESS JUNE 30 CURRENT WINDOWS VERSION IS V8.01b, CURRENT  
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),  
AND CURRENT DISCOVER FILE IS DATED 26 JUNE 2006.  
  
NEWS HOURS STN Operating Hours Plus Help Desk Availability  
NEWS LOGIN Welcome Banner and News Items  
NEWS IPC8 For general information regarding STN implementation of IPC 8  
NEWS X25 X.25 communication option no longer available

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\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 12:00:56 ON 11 OCT 2006

=>

Uploading

THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE

Do you want to switch to the Registry File?

Choice (Y/n):

Switching to the Registry File...

Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.63	0.63

FILE 'REGISTRY' ENTERED AT 12:02:41 ON 11 OCT 2006

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STRUCTURE FILE UPDATES: 10 OCT 2006 HIGHEST RN 910095-75-9

DICTIONARY FILE UPDATES: 10 OCT 2006 HIGHEST RN 910095-75-9

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

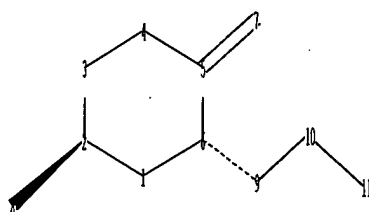
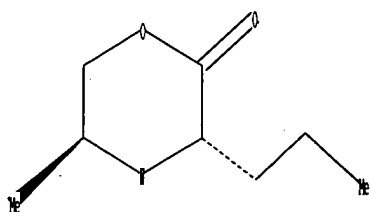
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10569472.str



chain nodes :  
 7 8 9 10 11  
 ring nodes :  
 1 2 3 4 5 6  
 chain bonds :  
 2-8 5-7 6-9 9-10 10-11  
 ring bonds :  
 1-2 1-6 2-3 3-4 4-5 5-6  
 exact/norm bonds :  
 1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9  
 exact bonds :  
 2-8 9-10 10-11  
 isolated ring systems :  
 containing 1 :

Match level :  
 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS  
 11:CLASS

Stereo Bonds:

8-2 (Single Wedge).

Stereo Chiral Centers:

2 (Parity=Don't Care)

Stereo RSS Sets:

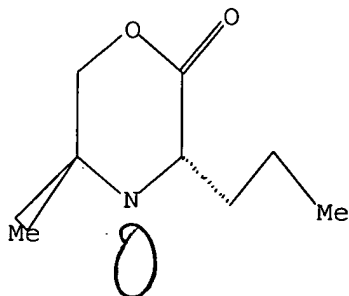
Type=Relative (Default). 1 Nodes= 2

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



10/11/2006 10569472.trn

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 12:02:59 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 82 TO ITERATE

100.0% PROCESSED 82 ITERATIONS 1 ANSWERS  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 1097 TO 2183  
PROJECTED ANSWERS: 1 TO 80

L2 1 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 12:03:05 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 1621 TO ITERATE

100.0% PROCESSED 1621 ITERATIONS 3 ANSWERS  
SEARCH TIME: 00.00.01

L3 3 SEA SSS FUL L1

=> FIL HCAPLUS

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	166.94	167.57

FILE 'HCAPLUS' ENTERED AT 12:03:12 ON 11 OCT 2006  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
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FILE COVERS 1907 - 11 Oct 2006 VOL 145 ISS 16  
FILE LAST UPDATED: 10 Oct 2006 (20061010/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

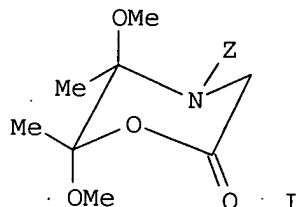
This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3

L4 2 L3

=> d 14 ibib abs hitstr tot

L4 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 2004:626640 HCAPLUS  
 DOCUMENT NUMBER: 141:314593  
 TITLE: The preparation and alkylation of a  
 butanedione-derived chiral glycine equivalent and its  
 use for the synthesis of  $\alpha$ -amino acids and  
 $\alpha,\alpha$ -disubstituted amino acids  
 AUTHOR(S): Harding, Christopher I.; Dixon, Darren J.; Ley, Steven  
 V.  
 CORPORATE SOURCE: Department of Chemistry, University of Cambridge,  
 Cambridge, CB2 1EW, UK  
 SOURCE: Tetrahedron (2004), 60(35), 7679-7692  
 CODEN: TETRAE ISSN: 0040-4020  
 PUBLISHER: Elsevier B.V.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 141:314593  
 GI



AB Benzyloxycarbonyl (Z)-protected glycine equivalent I has been prepared in enantiopure form and has been used in the synthesis of both  $\alpha$ -substituted amino acids and  $\alpha,\alpha$ -disubstituted amino acids. The process involved deprotonation to form the corresponding enolates which underwent stereoselective alkylation with various electrophiles and upon hydrolysis gave the corresponding amino acid derivs. as enantiomerically pure products.

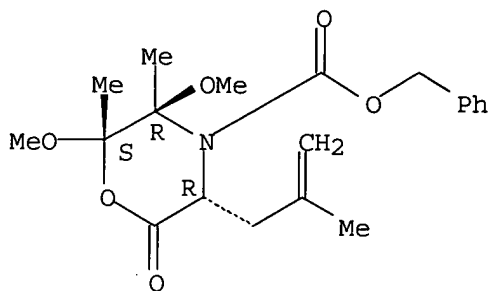
IT 763101-44-6P 763101-64-0P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and alkylation of butanedione-derived chiral glycine equivalent for synthesis of  $\alpha$ -amino acids)

RN 763101-44-6 HCAPLUS

CN 4-Morpholinecarboxylic acid, 2,3-dimethoxy-2,3-dimethyl-5-(2-methyl-2-propenyl)-6-oxo-, phenylmethyl ester, (2S,3R,5R)- (9CI) (CA INDEX NAME)

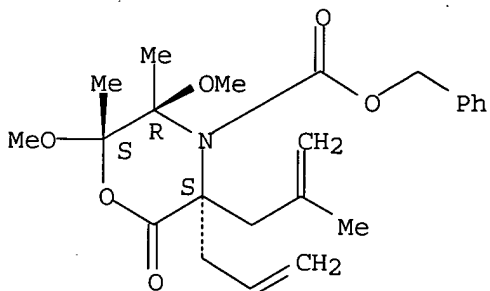
Absolute stereochemistry. Rotation (+).



RN 763101-64-0 HCAPLUS

CN 4-Morpholinecarboxylic acid, 2,3-dimethoxy-2,3-dimethyl-5-(2-methyl-2-propenyl)-6-oxo-5-(2-propenyl)-, phenylmethyl ester, (2S,3R,5S)- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 74 THERE ARE 74 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:910218 HCAPLUS

DOCUMENT NUMBER: 139:365227

TITLE: New process for the synthesis of N-[(S)-1-carboxybutyl]- (S)-alanine esters and their use in the synthesis of perindapril

INVENTOR(S): Breard, Fabienne; Fugier, Claude

PATENT ASSIGNEE(S): Les Laboratoires Servier, Fr.

SOURCE: Eur. Pat. Appl., 5 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1362845	A2	20031119	EP 2003-292145	20030901
EP 1362845	A3	20040331		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
AU 2004270432	A1	20050317	AU 2004-270432	20040831
CA 2536926	AA	20050317	CA 2004-2536926	20040831
WO 2005023755	A1	20050317	WO 2004-FR2213	20040831

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CN 1835911 A 20060920 CN 2004-80023534 20040831

NO 2006001152 A 20060310 NO 2006-1152 20060310

PRIORITY APPLN. INFO.: EP 2003-292145 A 20030901

WO 2004-FR2213 W 20040831

OTHER SOURCE(S): CASREACT 139:365227; MARPAT 139:365227

AB Title alanine derivs. (S)-RO<sub>2</sub>CCHPr-L-Ala-OH (R = C<sub>1</sub>-C<sub>6</sub> alkyl) were prepared from N-protected (S)-5-methyl-2-morpholinone by propylation or allylation/hydrogenation, ring opening by LiOH, esterification, oxidation of the hydroxy group, and deprotection. In an example, N-[(S)-1-carbethoxybutyl]-(S)-alanine hydrochloride was prepared via allylation of Boc-protected (S)-5-methyl-2-morpholinone and treatment of tert-Bu (3S,5S)-5-methyl-3-propyl-2-oxo-4-morpholinecarboxylate with LiOH in aqueous MeCN and then EtI to afford intermediate Et (2S)-2-[(tert-butoxycarbonyl)[(1S)-2-hydroxy-1-methylethyl]amino]pentanoate.

IT 622401-89-2P

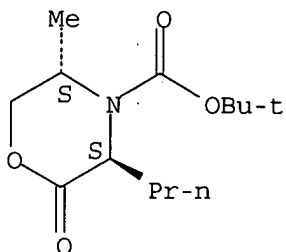
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(process for synthesis of N-[(S)-carboxybutyl]-L-alanine esters for use in synthesis of perindopril)

RN 622401-89-2 HCAPLUS

CN 4-Morpholinecarboxylic acid, 5-methyl-2-oxo-3-propyl-, 1,1-dimethylethyl ester, (3S,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> FIL REGISTRY

COST IN U.S. DOLLARS

SINCE FILE ENTRY	TOTAL SESSION
20.34	187.91

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE ENTRY	TOTAL SESSION
-1.50	-1.50

CA SUBSCRIBER PRICE

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10/11/2006 10569472.trn

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DICTIONARY FILE UPDATES: 10 OCT 2006 HIGHEST RN 910095-75-9

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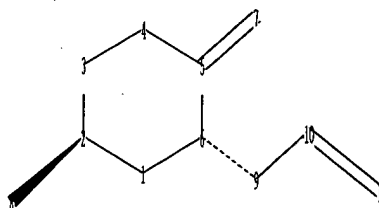
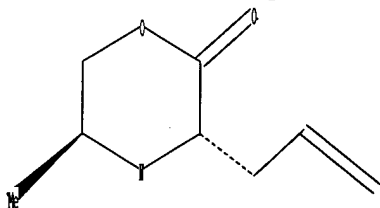
Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and  
predicted properties as well as tags indicating availability of  
experimental property data in the original document. For information  
on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10569472a.str



chain nodes :  
7 8 9 10 12  
ring nodes :  
1 2 3 4 5 6  
chain bonds :  
2-8 5-7 6-9 9-10 10-12  
ring bonds :  
1-2 1-6 2-3 3-4 4-5 5-6  
exact/norm bonds :  
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9  
exact bonds :  
2-8 9-10 10-12  
isolated ring systems :  
containing 1 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS  
12:CLASS

Stereo Bonds:

8-2 (Single Wedge).



10/11/2006 10569472.trn

Stereo Chiral Centers:

2 (Parity=Don't Care)

Stereo RSS Sets:

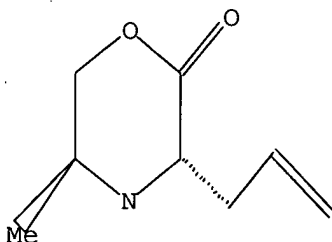
Type=Relative (Default). 1 Nodes= 2

L5 STRUCTURE UPLOADED

=> d 15

L5 HAS NO ANSWERS

L5 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 15

SAMPLE SEARCH INITIATED 12:05:41 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 82 TO ITERATE

100.0% PROCESSED 82 ITERATIONS

SEARCH TIME: 00.00.01

0 ANSWERS

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 1097 TO 2183

PROJECTED ANSWERS: 0 TO 0

L6 0 SEA SSS SAM L5

=> s 15 sss full

FULL SEARCH INITIATED 12:05:47 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 1621 TO ITERATE

100.0% PROCESSED 1621 ITERATIONS

SEARCH TIME: 00.00.02

NO ANSWERS

L7 10 SEA SSS FUL L5

=> FIL HCAPLUS

COST IN U.S. DOLLARS

SINCE FILE

ENTRY

TOTAL

SESSION

FULL ESTIMATED COST

166.94

354.85

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

ENTRY

TOTAL

SESSION

CA SUBSCRIBER PRICE 0.00 -1.50

FILE 'HCAPLUS' ENTERED AT 12:06:00 ON 11 OCT 2006  
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FILE COVERS 1907 - 11 Oct 2006 VOL 145 ISS 16  
FILE LAST UPDATED: 10 Oct 2006 (20061010/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l7

L8 5 L7

=> FIL REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	15.18	370.03
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-1.50

FILE 'REGISTRY' ENTERED AT 12:09:45 ON 11 OCT 2006  
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STRUCTURE FILE UPDATES: 10 OCT 2006 HIGHEST RN 910095-75-9  
DICTIONARY FILE UPDATES: 10 OCT 2006 HIGHEST RN 910095-75-9

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TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information

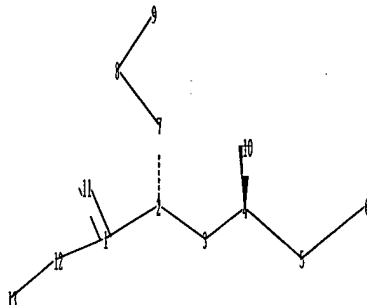
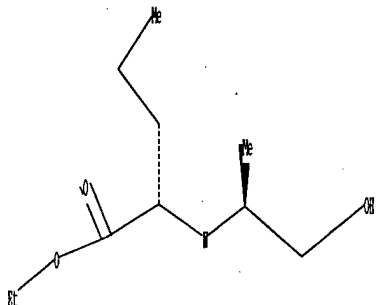
10/11/2006 10569472.trn

on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10569472b.str



chain nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13

chain bonds :

1-2 1-11 1-12 2-3 2-7 3-4 4-5 4-10 5-6 7-8 8-9 12-13

exact/norm bonds :

1-11 1-12 2-3 2-7 3-4 5-6

exact bonds :

1-2 4-5 4-10 7-8 8-9 12-13

Match level :

1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS

10:CLASS 11:CLASS 12:CLASS 13:CLASS

Stereo Bonds:

10-4 (Single Wedge).

Stereo Chiral Centers:

4 (Parity=Don't Care)

Stereo RSS Sets:

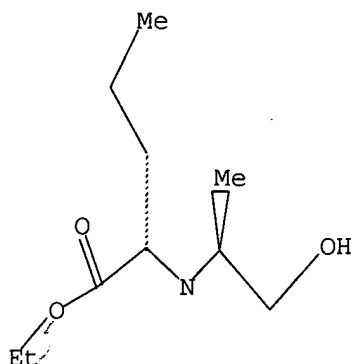
Type=Relative (Default). 1 Nodes= 4

L9 STRUCTURE UPLOADED

=> d 19

L9 HAS NO ANSWERS

L9 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 19

SAMPLE SEARCH INITIATED 12:10:02 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 6066 TO ITERATE

33.0% PROCESSED 2000 ITERATIONS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.01

0 ANSWERS

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 116651 TO 125989

PROJECTED ANSWERS: 0 TO 0

L10 0 SEA SSS SAM L9

=> s 19 sss full

FULL SEARCH INITIATED 12:10:10 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 122888 TO ITERATE

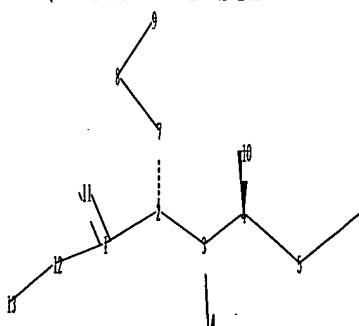
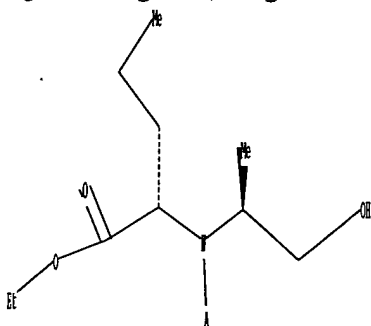
100.0% PROCESSED 122888 ITERATIONS  
SEARCH TIME: 00.00.02

12 ANSWERS

L11 12 SEA SSS FUL L9

=>

Uploading C:\Program Files\Stnexp\Queries\10569472c.str



10/11/2006 10569472.trn

chain nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14

chain bonds :

1-2 1-11 1-12 2-3 2-7 3-4 3-14 4-5 4-10 5-6 7-8 8-9 12-13

exact/norm bonds :

1-11 1-12 2-3 2-7 3-4 3-14 5-6

exact bonds :

1-2 4-5 4-10 7-8 8-9 12-13

Match level :

1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS  
10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS

Stereo Bonds:

10-4 (Single Wedge).

Stereo Chiral Centers:

4 (Parity=Don't Care)

Stereo RSS Sets:

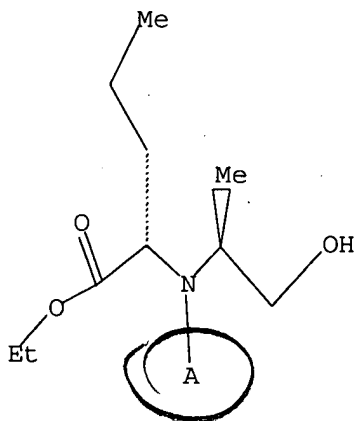
Type=Relative (Default). 1 Nodes= 4

L12 STRUCTURE UPLOADED

=> d l12

L12 HAS NO ANSWERS

L12 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l12

SAMPLE SEARCH INITIATED 12:11:58 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 6066 TO ITERATE

33.0% PROCESSED 2000 ITERATIONS

0 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

10/11/2006 10569472.trn

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 116651 TO 125989  
PROJECTED ANSWERS: 0 TO 0

L13 0 SEA SSS SAM L12

=> s l12 sss full  
FULL SEARCH INITIATED 12:12:04 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 122888 TO ITERATE

100.0% PROCESSED 122888 ITERATIONS  
SEARCH TIME: 00.00.01

5 ANSWERS

L14 5 SEA SSS FUL L12

=> FIL HCAPLUS  
COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
334.76	704.79

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
0.00	-1.50

CA SUBSCRIBER PRICE

FILE 'HCAPLUS' ENTERED AT 12:12:12 ON 11 OCT 2006  
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FILE COVERS 1907 - 11 Oct 2006 VOL 145 ISS 16  
FILE LAST UPDATED: 10 Oct 2006 (20061010/ED)

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(FILE 'HOME' ENTERED AT 12:00:56 ON 11 OCT 2006)

FILE 'REGISTRY' ENTERED AT 12:02:41 ON 11 OCT 2006

L1 STRUCTURE UPLOADED

L2 1 S L1

L3 3 S L1 SSS FULL

FILE 'HCAPLUS' ENTERED AT 12:03:12 ON 11 OCT 2006

10/11/2006 10569472.trn

L4 2 S L3

FILE 'REGISTRY' ENTERED AT 12:05:25 ON 11 OCT 2006

L5 STRUCTURE UPLOADED

L6 0 S L5

L7 10 S L5 SSS FULL

FILE 'HCAPLUS' ENTERED AT 12:06:00 ON 11 OCT 2006

L8 5 S L7

FILE 'REGISTRY' ENTERED AT 12:09:45 ON 11 OCT 2006

L9 STRUCTURE UPLOADED

L10 0 S L9

L11 12 S L9 SSS FULL

L12 STRUCTURE UPLOADED

L13 0 S L12

L14 5 S L12 SSS FULL

FILE 'HCAPLUS' ENTERED AT 12:12:12 ON 11 OCT 2006

=> s l11

L15 50 L11

=> s l14

L16 2 L14

=> d l16 ibib abs hitstr tot

L16 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:910218 HCAPLUS

DOCUMENT NUMBER: 139:365227

TITLE: New process for the synthesis of N-[(S)-1-carboxybutyl]- (S)-alanine esters and their use in the synthesis of pentindopril

INVENTOR(S): Breard, Fabienne; Fugier, Claude

PATENT ASSIGNEE(S): Les Laboratoires Servier, Fr.

SOURCE: Eur. Pat. Appl., 5 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1362845	A2	20031119	EP 2003-292145	20030901
EP 1362845	A3	200410331		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
AU 2004270432	A1	20050317	AU 2004-270432	20040831
CA 2536926	AA	20050317	CA 2004-2536926	20040831
WO 2005023755	A1	20050317	WO 2004-FR2213	20040831
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,				

AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,  
 EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,  
 SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,  
 SN, TD, TG

CN 1835911 A 20060920 CN 2004-80023534 20040831  
 NO 2006001152 A 20060310 NO 2006-1152 20060310  
 PRIORITY APPLN. INFO.: EP 2003-292145 A 20030901  
 WO 2004-FR2213 W 20040831

OTHER SOURCE(S): CASREACT 139:365227; MARPAT 139:365227

AB Title alanine derivs. (S)-RO<sub>2</sub>CCHPr-L-Ala-OH (R = C<sub>1</sub>-C<sub>6</sub> alkyl) were prepared from N-protected (S)-5-methyl-2-morpholinone by propylation or allylation/hydrogenation, ring opening by LiOH, esterification, oxidation of the hydroxy group, and deprotection. In an example, N-[(S)-1-carbethoxybutyl]-(S)-alanine hydrochloride was prepared via allylation of Boc-protected (S)-5-methyl-2-morpholinone and treatment of tert-Bu (3S,5S)-5-methyl-3-propyl-2-oxo-4-morpholinecarboxylate with LiOH in aqueous MeCN and then EtI to afford intermediate Et (2S)-2-[(tert-butoxycarbonyl)[(1S)-2-hydroxy-1-methylethyl]amino]pentanoate.

IT 571147-33-6P 622401-90-5P

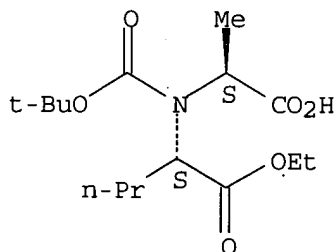
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(process for synthesis of N-[(S)-carboxybutyl]-L-alanine esters for use in synthesis of perindopril)

RN 571147-33-6 HCAPLUS

CN L-Norvaline, N-[(1S)-1-carboxyethyl]-N-[(1,1-dimethylethoxy)carbonyl]-, 1-ethyl ester (9CI) (CA INDEX NAME)

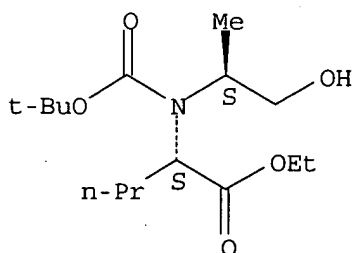
Absolute stereochemistry.



RN 622401-90-5 HCAPLUS

CN L-Norvaline, N-[(1,1-dimethylethoxy)carbonyl]-N-[(1S)-2-hydroxy-1-methylethyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L16 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2006 ACS on STN



ACCESSION NUMBER: 2003:609507 HCAPLUS  
DOCUMENT NUMBER: 139:149930  
TITLE: Process for the preparation of high purity perindopril and intermediates useful in its synthesis  
INVENTOR(S): Simig, Gyula; Mezei, Tibor; Porcs-Makkay, Marta; Mandi, Attila  
PATENT ASSIGNEE(S): Les Laboratoires Servier, Fr.  
SOURCE: Eur. Pat. Appl., 12 pp.  
CODEN: EPXXDW  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1333026	A1	20030806	EP 2002-290206	20020130
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
CA 2474003	AA	20030807	CA 2003-2474003	20030129
WO 2003064388	A2	20030807	WO 2003-IB691	20030129
WO 2003064388	A3	20040205		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EE 200400107	A	20041015	EE 2004-107	20030129
BR 2003007293	A	20041221	BR 2003-7293	20030129
CN 1622936	A	20050601	CN 2003-802714	20030129
US 2005119492	A1	20050602	US 2003-503272	20030129
JP 2005521667	T2	20050721	JP 2003-564011	20030129
NO 2004003472	A	20040820	NO 2004-3472	20040820
BG 108858	A	20050531	BG 2004-108858	20040827
PRIORITY APPLN. INFO.:			EP 2002-290206	A 20020130
			WO 2003-IB691	W 20030129

OTHER SOURCE(S): MARPAT 139:149930

AB The invention relates to 1-[2(S)-[1(S)-(ethoxycarbonyl)butylamino]propionyl]- (3aS,7aS)octahydroindole-2(S)-carboxylic acid (perindopril) and its tert-butylamine salt, free of contaminants derivable from dicyclohexylcarbodiimide, and a process for their synthesis. The invention also relates to N-[1-(ethoxycarbonyl)butyl]-N-(alkoxycarbonyl)alanine intermediates used in the synthesis of perindopril, a known ACE inhibitor. Thus, N-[1-(ethoxycarbonyl)butyl]-N-(ethoxycarbonyl)alanine, prepared by ethoxycarbonylation of N-[1-(ethoxycarbonyl)butyl]alanine, was treated with thionyl chloride in CH<sub>2</sub>Cl<sub>2</sub> and acylated by perhydroindole-2-carboxylic acid in THF at reflux for 4-4.5 h. The product was treated with tert-butylamine to afford 55% perindopril eburmine.

IT 571147-31-4P 571147-32-5P 571147-33-6P  
571147-34-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

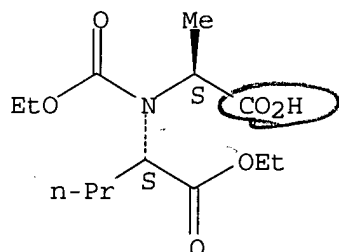
(process for preparation of high purity perindopril and intermediates useful

in its synthesis)

RN 571147-31-4 HCAPLUS

CN L-Norvaline, N-[(1S)-1-carboxyethyl]-N-(ethoxycarbonyl)-, 1-ethyl ester  
(9CI) (CA INDEX NAME)

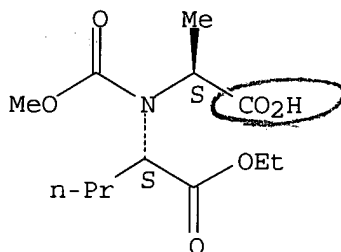
Absolute stereochemistry.



RN 571147-32-5 HCAPLUS

CN L-Norvaline, N-[(1S)-1-carboxyethyl]-N-(methoxycarbonyl)-, 1-ethyl ester  
(9CI) (CA INDEX NAME)

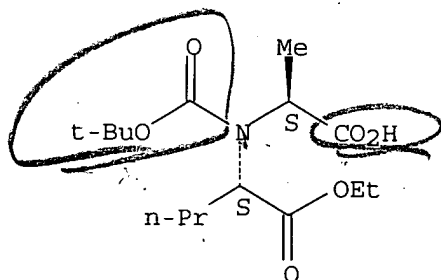
Absolute stereochemistry.



RN 571147-33-6 HCAPLUS

CN L-Norvaline, N-[(1S)-1-carboxyethyl]-N-[(1,1-dimethylethoxy)carbonyl]-, 1-ethyl ester (9CI) (CA INDEX NAME)

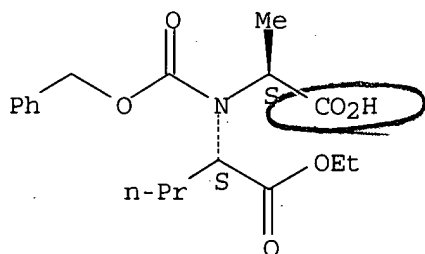
Absolute stereochemistry.



RN 571147-34-7 HCAPLUS

CN L-Norvaline, N-[(1S)-1-carboxyethyl]-N-[(phenylmethoxy)carbonyl]-, 1-ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

2

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=&gt; d 18 ibib abs hitstr tot

L8 ANSWER 1 OF 5 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:626640 HCAPLUS

DOCUMENT NUMBER: 141:314593

TITLE: The preparation and alkylation of a  
butanedione-derived chiral glycine equivalent and its  
use for the synthesis of  $\alpha$ -amino acids and  
 $\alpha,\alpha$ -disubstituted amino acids

AUTHOR(S): Harding, Christopher I.; Dixon, Darren J.; Ley, Steven  
V.

CORPORATE SOURCE: Department of Chemistry, University of Cambridge,  
Cambridge, CB2 1EW, UK

SOURCE: Tetrahedron (2004), 60(35), 7679-7692  
CODEN: TETRAE, ISSN: 0040-4020

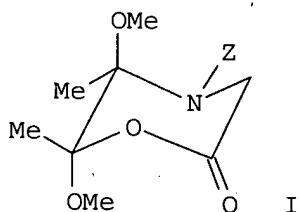
PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 141:314593

GI



AB Benzyloxycarbonyl (Z)-protected glycine equivalent I has been prepared in enantiopure form and has been used in the synthesis of both  $\alpha$ -substituted amino acids and  $\alpha,\alpha$ -disubstituted amino acids. The process involved deprotonation to form the corresponding enolates which underwent stereoselective alkylation with various electrophiles and upon hydrolysis gave the corresponding amino acid derivs. as enantiomerically pure products.

IT 565234-18-6P 763101-44-6P 763101-62-8P

763101-64-0P 763101-66-2P

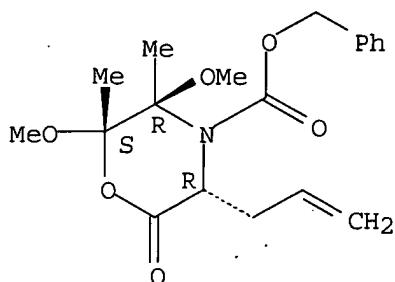
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)

(preparation and alkylation of butanedione-derived chiral glycine equivalent for synthesis of  $\alpha$ -amino acids)

RN 565234-18-6 HCAPLUS

CN 4-Morpholinecarboxylic acid, 2,3-dimethoxy-2,3-dimethyl-6-oxo-5-(2-propenyl)-, phenylmethyl ester, (2S,3R,5R)- (9CI) (CA INDEX NAME)

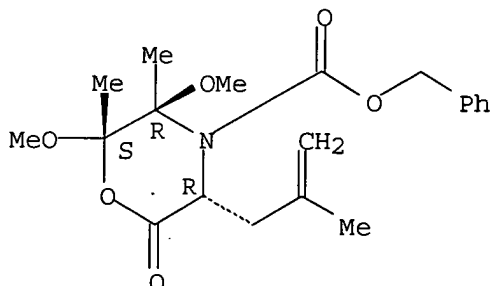
Absolute stereochemistry. Rotation (+).



RN 763101-44-6 HCAPLUS

CN 4-Morpholinecarboxylic acid, 2,3-dimethoxy-2,3-dimethyl-5-(2-methyl-2-propenyl)-6-oxo-, phenylmethyl ester, (2S,3R,5R)- (9CI) (CA INDEX NAME)

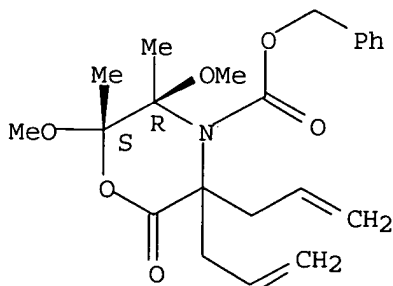
Absolute stereochemistry. Rotation (+).



RN 763101-62-8 HCAPLUS

CN 4-Morpholinecarboxylic acid, 2,3-dimethoxy-2,3-dimethyl-6-oxo-5,5-di-2-propenyl-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

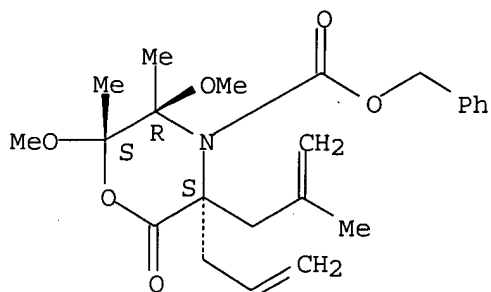


RN 763101-64-0 HCAPLUS

CN 4-Morpholinecarboxylic acid, 2,3-dimethoxy-2,3-dimethyl-5-(2-methyl-2-

propenyl)-6-oxo-5-(2-propenyl)-, phenylmethyl ester, (2S,3R,5S)- (9CI)  
(CA INDEX NAME)

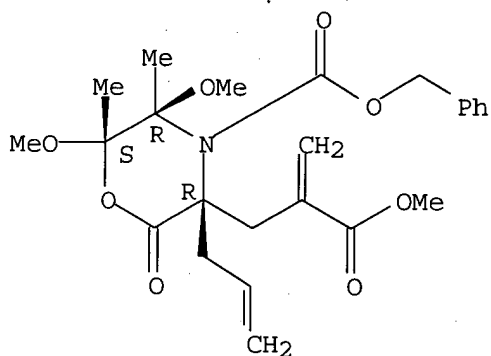
Absolute stereochemistry. Rotation (+).



RN 763101-66-2 HCAPLUS

CN 3-Morpholinepropanoic acid, 5,6-dimethoxy-5,6-dimethyl-α-methylene-2-oxo-4-[(phenylmethoxy)carbonyl]-3-(2-propenyl)-, methyl ester, (3R,5R,6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



IT 763101-48-0P

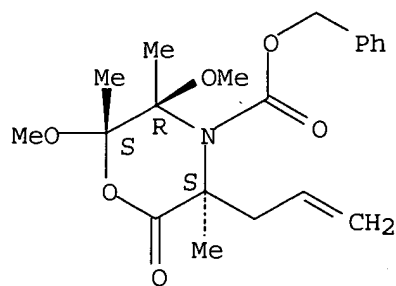
RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation and alkylation of butanedione-derived chiral glycine equivalent for synthesis of α-amino acids)

RN 763101-48-0 HCAPLUS

CN 4-Morpholinecarboxylic acid, 2,3-dimethoxy-2,3,5-trimethyl-6-oxo-5-(2-propenyl)-, phenylmethyl ester, (2S,3R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 74 THERE ARE 74 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 2 OF 5 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:910218 HCAPLUS

DOCUMENT NUMBER: 139:365227

TITLE: New process for the synthesis of N-[(S)-1-carboxybutyl]-(S)-alanine esters and their use in the synthesis of perindopril

INVENTOR(S): Breard, Fabienne; Fugier, Claude

PATENT ASSIGNEE(S): Les Laboratoires Servier, Fr.

SOURCE: Eur. Pat. Appl., 5 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1362845	A2	20031119	EP 2003-292145	20030901
EP 1362845	A3	20040331		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
AU 2004270432	A1	20050317	AU 2004-270432	20040831
CA 2536926	AA	20050317	CA 2004-2536926	20040831
WO 2005023755	A1	20050317	WO 2004-FR2213	20040831
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CN 1835911	A	20060920	CN 2004-80023534	20040831
NO 2006001152	A	20060310	NO 2006-1152	20060310
PRIORITY APPLN. INFO.:			EP 2003-292145	A 20030901
			WO 2004-FR2213	W 20040831

OTHER SOURCE(S): CASREACT 139:365227; MARPAT 139:365227

AB Title alanine derivs. (S)-R02CCHPr-L-Ala-OH (R = C1-C6 alkyl) were prepared from N-protected (S)-5-methyl-2-morpholinone by propylation or allylation/hydrogenation, ring opening by LiOH, esterification, oxidation of

the hydroxy group, and deprotection. In an example, N-[(S)-1-carbethoxybutyl]-(S)-alanine hydrochloride was prepared via allylation of Boc-protected (S)-5-methyl-2-morpholinone and treatment of tert-Bu (3S,5S)-5-methyl-3-propyl-2-oxo-4-morpholinecarboxylate with LiOH in aqueous MeCN and then EtI to afford intermediate Et (2S)-2-[(tert-butoxycarbonyl) [(1S)-2-hydroxy-1-methylethyl]amino]pentanoate.

IT 141409-83-8P

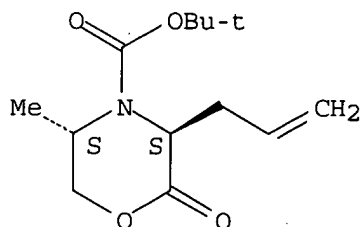
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(process for synthesis of N-[(S)-carboxybutyl]-L-alanine esters for use in synthesis of perindopril)

RN 141409-83-8 HCAPLUS

CN 4-Morpholinecarboxylic acid, 5-methyl-2-oxo-3-(2-propenyl)-, 1,1-dimethylethyl ester, (3S,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 3 OF 5 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:107383 HCAPLUS

DOCUMENT NUMBER: 139:117664

TITLE: A 2,3-butanedione protected chiral glycine equivalent - a new building block for the stereoselective synthesis of enantiopure N-protected  $\alpha$ -amino acids

AUTHOR(S): Dixon, Darren J.; Harding, Christopher I.; Ley, Steven V.; Tilbrook, D. Matthew G.

CORPORATE SOURCE: Department of Chemistry, University of Cambridge, Cambridge, CB2 1EW, UK

SOURCE: Chemical Communications (Cambridge, United Kingdom) (2003), (4), 468-469

~~Q~~ODEN: CHCOFS; ISSN: 1359-7345

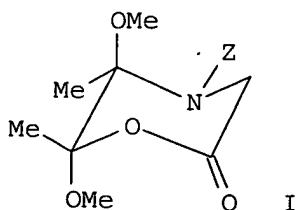
PUBLISHER: Royal Society of Chemistry

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 139:117664

GI



AB A new chiral glycine equivalent I (Z = benzyloxycarbonyl) has been synthesized from glycidol using a chiral memory protocol and its use in the synthesis of N-Z protected  $\alpha$ -amino acids was demonstrated in a series of diastereoselective lithium enolate alkylation reactions and subsequent acid hydrolyses.

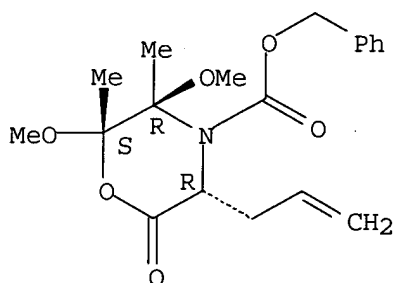
IT 565234-18-6P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(butanedione-protected chiral glycine equivalent as building block for stereoselective synthesis of N-protected  $\alpha$ -amino acids)

RN 565234-18-6 HCAPLUS

CN 4-Morpholinecarboxylic acid, 2,3-dimethoxy-2,3-dimethyl-6-oxo-5-(2-propenyl)-, phenylmethyl ester, (2S,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 5 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1995:273266 HCAPLUS

DOCUMENT NUMBER: 122:133089

TITLE: Synthesis, structure and reactions of chiral oxazinones derived from L-ephedrine

AUTHOR(S): Chang, Chih-Jung; Fang, Jim-Min; Lee, Gene-Hsian; Wang, Yu

CORPORATE SOURCE: Dep. Chem., National Taiwan Univ., Taipei, 106, Taiwan  
SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1994), (24), 3587-93

CODEN: JCPRB4; ISSN: 0300-922X

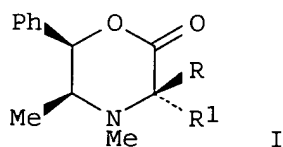
PUBLISHER: Royal Society of Chemistry

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 122:133089

GI



AB Optically pure 4,5-dimethyl-3,6-diphenylperhydro-1,4-oxazin-2-one I (R = Ph, R1 = H) (II) and 4,5-dimethyl-6-phenyl-3-(2-phenylvinyl)perhydro-1,4-



oxazin-2-one I (R = styryl, R1 = H) (III) have been prepared by condensation of ephedrine, potassium cyanide and benzaldehyde or cinnamaldehyde. The lithium enolates of compds. II and III reacted with haloalkanes in a stereospecific manner (si-attack) to give the 3-substituted derivs. The allylic enolate of III was selectively hydroxylated with oxygen or m-CPBA at the  $\alpha$ -site to give I (R = styryl, R1 = OH) (IV). Palladium-catalyzed substitution of IV acetate with malonate or phenoxide ions occurred also at the  $\alpha$ -site. Acid-catalyzed rearrangement of IV in ethanol gave an 2-ethoxyperhydro-1,4-oxazin-3-one, which reacted with trimethylsilyl cyanide to give a 2-cyano derivative.

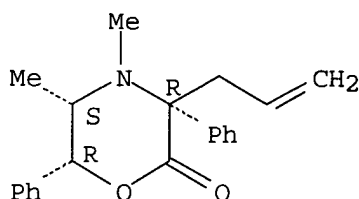
IT 160978-68-7P 160978-72-3P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 160978-68-7 HCAPLUS

CN 2-Morpholinone, 4,5-dimethyl-3,6-diphenyl-3-(2-propenyl)-,  
[3R-(3 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

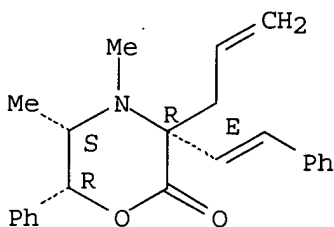


RN 160978-72-3 HCAPLUS

CN 2-Morpholinone, 4,5-dimethyl-6-phenyl-3-(2-phenylethenyl)-3-(2-propenyl)-,  
[3R-[3 $\alpha$ (E),5 $\alpha$ ,6 $\alpha$ ]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



L8 ANSWER 5 OF 5 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1992:235546 HCAPLUS

DOCUMENT NUMBER: 116:235546

TITLE: Synthesis and structure determination of  
(3S,5S)-2,3,5,6-tetrahydro-3,5-dialkyl-N-(tert-  
butyloxycarbonyl)-4H-1,4-oxazine-2-ones

AUTHOR(S): Baker, William R.; Condon, Stephen L.; Spanton,  
Stephen

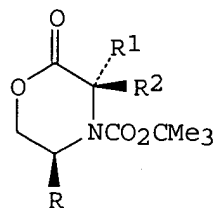
CORPORATE SOURCE: Pharm. Prod. Div., Abbott Lab., Abbott Park, IL,  
60064, USA

SOURCE: Tetrahedron Letters (1992), 33(12), 1573-6  
CODEN: TELEAY; ISSN: 0040-4039

DOCUMENT TYPE: Journal

10/11/2006 10569472.trn

LANGUAGE: English  
OTHER SOURCE(S): CASREACT 116:235546  
GI



I

AB (5S)-5-Alkyl-N-(tert-butoxycarbonyl)-4H-1,4-oxazin-2-ones I (R = Me, CHMe<sub>2</sub>, Bu, cyclohexylmethyl, CH<sub>2</sub>Ph, R<sub>1</sub> = R<sub>2</sub> = H), which are readily prepared from optically pure HOCH<sub>2</sub>CHRNH<sub>2</sub> and BrCH<sub>2</sub>CO<sub>2</sub>Et, alkylate selectively at the C-3 position with H<sub>2</sub>C:CHCH<sub>2</sub>Br to give I (R<sub>1</sub> = CH<sub>2</sub>CH:CH<sub>2</sub>, R<sub>2</sub> = H; R<sub>1</sub> = H, R<sub>2</sub> = CH<sub>2</sub>CH:CH<sub>2</sub>) where I (R<sub>1</sub> = CH<sub>2</sub>CH:CH<sub>2</sub>, R<sub>2</sub> = H) was the major or sole product.

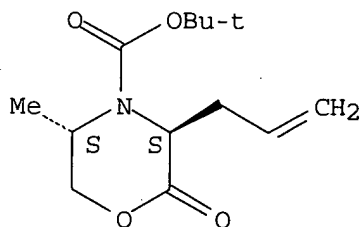
IT 141409-83-8P 141436-30-8P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 141409-83-8 HCAPLUS

CN 4-Morpholinecarboxylic acid, 5-methyl-2-oxo-3-(2-propenyl)-,  
1,1-dimethylethyl ester, (3S,5S)- (9CI) (CA INDEX NAME)

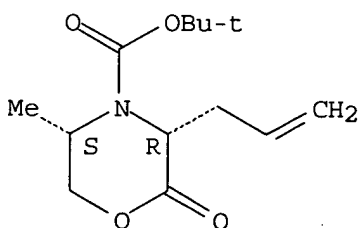
Absolute stereochemistry.



RN 141436-30-8 HCAPLUS

CN 4-Morpholinecarboxylic acid, 5-methyl-2-oxo-3-(2-propenyl)-,  
1,1-dimethylethyl ester, (3R-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> log y

10/11/2006 10569472.trn

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NEWS 4 MAY 10 CA/Capplus enhanced with 1900-1906 U.S. patent records  
NEWS 5 MAY 11 KOREAPAT updates resume  
NEWS 6 MAY 19 Derwent World Patents Index to be reloaded and enhanced  
NEWS 7 MAY 30 IPC 8 Rolled-up Core codes added to CA/Capplus and  
USPATFULL/USPAT2  
NEWS 8 MAY 30 The F-Term thesaurus is now available in CA/Capplus  
NEWS 9 JUN 02 The first reclassification of IPC codes now complete in  
INPADOC  
NEWS 10 JUN 26 TULSA/TULSA2 reloaded and enhanced with new search and  
and display fields  
NEWS 11 JUN 28 Price changes in full-text patent databases EPFULL and PCTFULL  
NEWS 12 JUL 11 CHEMSAFE reloaded and enhanced  
NEWS 13 JUL 14 FSTA enhanced with Japanese patents  
NEWS 14 JUL 19 Coverage of Research Disclosure reinstated in DWPI  
NEWS 15 AUG 09 INSPEC enhanced with 1898-1968 archive  
NEWS 16 AUG 28 ADISCTI Reloaded and Enhanced  
NEWS 17 AUG 30 CA(SM)/Capplus(SM) Austrian patent law changes  
NEWS 18 SEP 11 CA/Capplus enhanced with more pre-1907 records  
NEWS 19 SEP 21 CA/Capplus fields enhanced with simultaneous left and right  
truncation  
NEWS 20 SEP 25 CA(SM)/Capplus(SM) display of CA Lexicon enhanced  
NEWS 21 SEP 25 CAS REGISTRY(SM) no longer includes Concord 3D coordinates  
NEWS 22 SEP 25 CAS REGISTRY(SM) updated with amino acid codes for pyrrolysine  
NEWS 23 SEP 28 CEABA-VTB classification code fields reloaded with new  
classification scheme  
  
NEWS EXPRESS JUNE 30 CURRENT WINDOWS VERSION IS V8.01b, CURRENT  
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),  
AND CURRENT DISCOVER FILE IS DATED 26 JUNE 2006.  
  
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DICTIONARY FILE UPDATES: 10 OCT 2006 HIGHEST RN 910095-75-9

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REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

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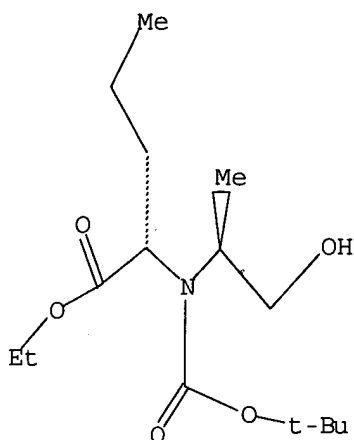
=> d l1

L1 HAS NO ANSWERS

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12:33 2

L1 STR



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=> s l1

SAMPLE SEARCH INITIATED 12:32:25 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 150 TO ITERATE

100.0% PROCESSED 150 ITERATIONS 0 ANSWERS  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 2266 TO 3734  
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 12:32:31 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 3200 TO ITERATE

100.0% PROCESSED 3200 ITERATIONS  
SEARCH TIME: 00.00.01

2 ANSWERS

L3 2 SEA SSS FUL L1

=> FIL HCAPLUS

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SINCE FILE	TOTAL
ENTRY	SESSION
166.94	167.15

FULL ESTIMATED COST

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FILE COVERS 1907 - 11 Oct 2006 VOL 145 ISS 16  
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=> s l3

L4 2 L3

=> d l4 ibib abs hitstr tot

L4 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2006 ACS on STN  
ACCESSION NUMBER: 2003:910218 HCAPLUS  
DOCUMENT NUMBER: 139:365227  
TITLE: New process for the synthesis of N-[(S)-1-carboxybutyl]-(S)-alanine esters and their use in the synthesis of perindopril  
INVENTOR(S): Breard, Fabienne; Fugier, Claude  
PATENT ASSIGNEE(S): Les Laboratoires Servier, Fr.  
SOURCE: Eur. Pat. Appl., 5 pp.  
CODEN: EPXXDW  
DOCUMENT TYPE: Patent  
LANGUAGE: French  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1362845	A2	20031119	EP 2003-292145	20030901
EP 1362845	A3	20040331		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
AU 2004270432	A1	20050317	AU 2004-270432	20040831
CA 2536926	AA	20050317	CA 2004-2536926	20040831
WO 2005023755	A1	20050317	WO 2004-FR2213	20040831
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CN 1835911	A	20060920	CN 2004-80023534	20040831
NO 2006001152	A	20060310	NO 2006-1152	20060310

PRIORITY APPLN. INFO.:

EP 2003-292145

A 20030901

WO 2004-FR2213

W 20040831

OTHER SOURCE(S):

CASREACT 139:365227; MARPAT 139:365227

AB Title alanine derivs. (S)-RO<sub>2</sub>CCHPr-L-Ala-OH (R = C<sub>1</sub>-C<sub>6</sub> alkyl) were prepared from N-protected (S)-5-methyl-2-morpholinone by propylation or allylation/hydrogenation, ring opening by LiOH, esterification, oxidation of the hydroxy group, and deprotection. In an example, N-[(S)-1-carbethoxybutyl]-(S)-alanine hydrochloride was prepared via allylation of Boc-protected (S)-5-methyl-2-morpholinone and treatment of tert-Bu (3S,5S)-5-methyl-3-propyl-2-oxo-4-morpholinecarboxylate with LiOH in aqueous MeCN and then EtI to afford intermediate Et (2S)-2-[(tert-butoxycarbonyl) [(1S)-2-hydroxy-1-methylethyl]aminol]pentanoate.

IT 571147-33-6P 622401-90-5P

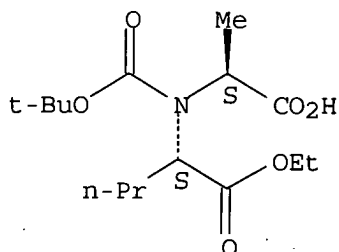
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(process for synthesis of N-[(S)-carboxybutyl]-L-alanine esters for use in synthesis of perindopril)

RN 571147-33-6 HCAPLUS

CN L-Norvaline, N-[(1S)-1-carboxyethyl]-N-[(1,1-dimethylethoxy)carbonyl]-, 1-ethyl ester (9CI) (CA INDEX NAME)

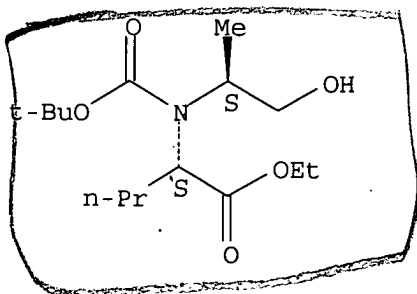
Absolute stereochemistry.



RN 622401-90-5 HCAPLUS

CN L-Norvaline, N-[(1,1-dimethylethoxy)carbonyl]-N-[(1S)-2-hydroxy-1-methylethyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:609507 HCAPLUS

DOCUMENT NUMBER: 139:149930

TITLE: Process for the preparation of high purity perindopril and intermediates useful in its synthesis

INVENTOR(S): Simig, Gyula; Mezei, Tibor; Porcs-Makkay, Marta;



PATENT ASSIGNEE(S): Mandi, Attila  
 SOURCE: Les Laboratoires Servier, Fr.  
 Eur. Pat. Appl., 12 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1333026	A1	20030806	EP 2002-290206	20020130
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
CA 2474003	AA	20030807	CA 2003-2474003	20030129
WO 2003064388	A2	20030807	WO 2003-IB691	20030129
WO 2003064388	A3	20040205		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EE 200400107	A	20041015	EE 2004-107	20030129
BR 2003007293	A	20041221	BR 2003-7293	20030129
CN 1622936	A	20050601	CN 2003-802714	20030129
US 2005119492	A1	20050602	US 2003-503272	20030129
JP 2005521667	T2	20050721	JP 2003-564011	20030129
NO 2004003472	A	20040820	NO 2004-3472	20040820
BG 108858	A	20050531	BG 2004-108858	20040827
PRIORITY APPLN. INFO.:			EP 2002-290206	A 20020130
			WO 2003-IB691	W 20030129

OTHER SOURCE(S): MARPAT 139:149930

AB The invention relates to 1-[2(S)-[1(S)-(ethoxycarbonyl)butylamino]propionyl]- (3aS,7aS)octahydroindole-2(S)-carboxylic acid (perindopril) and its tert-butylamine salt, free of contaminants derivable from dicyclohexylcarbodiimide, and a process for their synthesis. The invention also relates to N-[1-(ethoxycarbonyl)butyl]-N-(alkoxycarbonyl)alanine intermediates used in the synthesis of perindopril, a known ACE inhibitor. Thus, N-[1-(ethoxycarbonyl)butyl]-N-(ethoxycarbonyl)alanine, prepared by ethoxycarbonylation of N-[1-(ethoxycarbonyl)butyl]alanine, was treated with thionyl chloride in CH<sub>2</sub>Cl<sub>2</sub> and acylated by perhydroindole-2-carboxylic acid in THF at reflux for 4-4.5 h. The product was treated with tert-butylamine to afford 55% perindopril eburmine.

IT 571147-33-6P

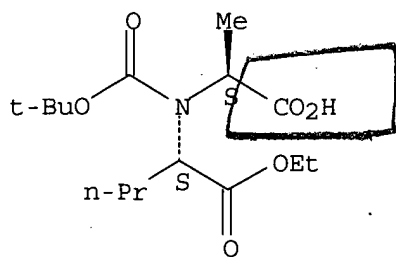
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(process for preparation of high purity perindopril and intermediates useful in its synthesis)

RN 571147-33-6 HCAPLUS

CN L-Norvaline, N-[(1S)-1-carboxyethyl]-N-[(1,1-dimethylethoxy)carbonyl]-, 1-ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

2

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT